

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
23 December 2004 (23.12.2004)

PCT

(10) International Publication Number
WO 2004/110379 A2

- (51) International Patent Classification⁷: A61K
- (21) International Application Number: PCT/US2004/018171
- (22) International Filing Date: 7 June 2004 (07.06.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 60/476,967 9 June 2003 (09.06.2003) US
- (71) Applicant (for all designated States except US): EN-DACEA, INC. [US/US]; P.O. Box 12076, Research Triangle Park, NC 27709 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): WILSON, Constance, N. [US/US]; 1112 Baslow Brook Court, Raleigh, NC 27614-8866 (US). PARTRIDGE, John, J. [US/US]; 109 Muir Lane, Chapel Hill, NC 27514-1452 (US).
- (74) Agents: LEMON, Shawna, Cannon et al.; Myers Bigel Sibley & Sajovec, P.A., P.O. Box 37428, Raleigh, NC 27627 (US).

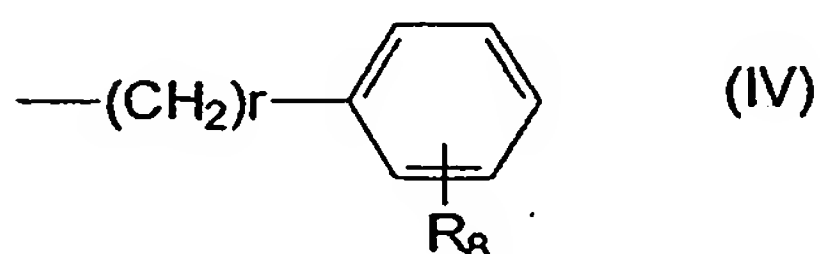
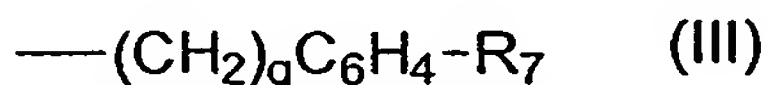
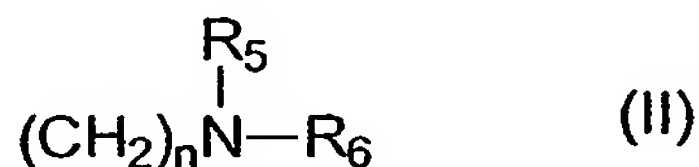
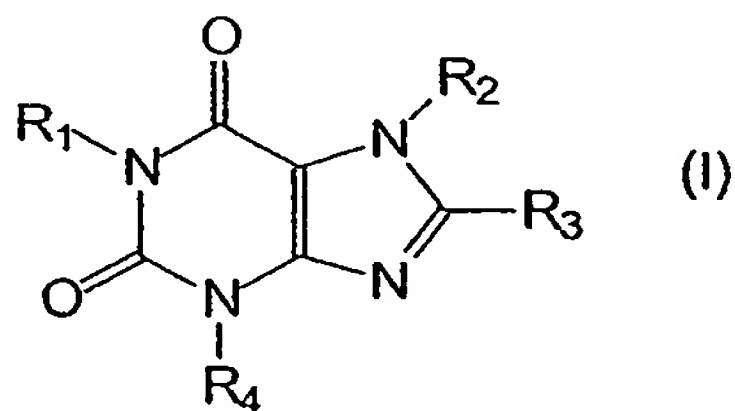
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: A1 ADENOSINE RECEPTOR ANTAGONISTS



(57) Abstract: This invention relates to compounds of formula (I): wherein R₁ is a branched or straight chain C₁-C₈ alkyl; R₂ is of the formula (II), wherein n is an integer ranging from 1 to 8; R₅ is H or (CH₂)_pCH₃, and R₆ is H or (CH₂)_mOH, wherein p is an integer ranging from 1 to 7 and m is an integer ranging from 1 to 8; R₃ is of the formula (III), wherein q is an integer ranging from 1 to 8; and R₇ is selected from the group consisting of H, OH, NH₂, (CH₂)_tOH, and R₉COOH; wherein R₉ is a straight or branched chain alkylene or alkenylene group having 1 to 8 carbon atoms, and t is an integer ranging from 1 to 8; R₄ is of the formula (IV), wherein r is an integer ranging from 1 to 8 and R₈ is selected from the group consisting of H, OH, (CH₂)_fNH₂, (CH₂)_sOH, and R₁₀COOH; wherein f is 0 or f and s are independently integers ranging from 1 to 8; and, R₁₀ is a C₁-C₈ straight or branched chain alkylene or alkenylene; and, salts, solvates, and hydrates thereof. The present invention further provides methods of preparing the compounds of formula (I) and their use as therapeutic agents and diagnostic agents.

WO 2004/110379 A2